

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 December 2003 (24.12.2003)

PCT

(10) International Publication Number
WO 03/106435 A1

(51) International Patent Classification⁷: C07D 239/91, 239/70, A61K 31/517, C07D 409/06, 401/06, 471/04, 403/06, 417/06, 495/04, A61P 3/06

92024 (US). SCHWEIGER, Edwin, J. [US/US]; 4703 Vereda Luz Del Sol, San Diego, CA 92130 (US). MARTIN, Richard [CA/US]; 4293 Cordobes Cove, San Diego, CA 92130 (US).

(21) International Application Number: PCT/JP03/07677

(74) Agents: NAKAMURA, Minoru et al.; NAKAMURA & PARTNERS, Shin-Tokyo Bldg., 3-1, Marunouchi 3-Chome, Chiyoda-Ku, Tokyo 100-8355 (JP).

(22) International Filing Date: 17 June 2003 (17.06.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(26) Publication Language: English

Published:

— with international search report

(30) Priority Data:
60/389,662 18 June 2002 (18.06.2002) US

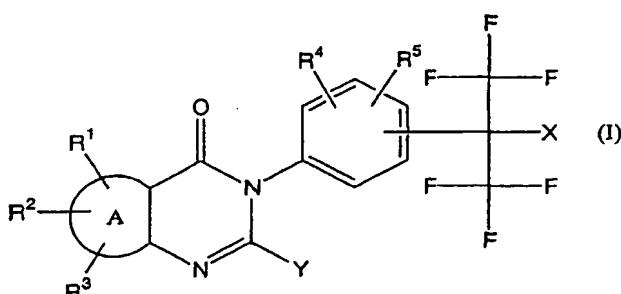
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(71) Applicants (*for all designated States except US*): SANKYO COMPANY, LIMITED [JP/JP]; 5-1, Nihonbashi Honcho 3-chome, Chuo-ku, Tokyo 103-8426 (JP). X-CEPTOR THERAPEUTICS, INC. [US/US]; 4757 Nexus Centre Drive, Suite 200, San Diego, CA 92121 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): KANEKO, Satoru [JP/JP]; c/o SANKYO COMPANY, LIMITED, 2-58, Hiromachi 1-chome, Shinagawa-ku, Tokyo 140-8710 (JP). WATANABE, Tsuyoshi [JP/JP]; c/o SANKYO COMPANY, LIMITED, 2-58, Hiromachi 1-chome, Shinagawa-ku, Tokyo 140-8710 (JP). ODA, Kozo [JP/JP]; c/o SANKYO COMPANY, LIMITED, 2-58, Hiromachi 1-chome, Shinagawa-ku, Tokyo 140-8710 (JP). MOHAN, Raju [US/US]; 3125 Caminito Ricardo, Encinitas, CA

(54) Title: FUSED-RING PYRIMIDIN-4(3H)-ONE DERIVATIVES, PROCESSES FOR THE PREPARATION AND USES THEREOF



N-(haloalkylsulfonyl)-N-(alkyl)amino, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl or dialkylaminocarbonyl group, or R₁ and R₂ together are alkyleneedioxy; R₄ and R₅ are the same or different and each represents hydrogen, hydroxyl, amino, halogen, mercapto, alkyl, haloalkyl, alkoxy, alkoxycarbonyl or alkylthio; X represents hydrogen, hydroxyl, halogen, alkoxy or haloalkyl and Y represents an optionally substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl.

WO 03/106435 A1

(57) Abstract: Abstract Novel compounds of the following formula (I) and pharmacologically acceptable salt and esters thereof can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity: wherein: A represents aryl or heteroaryl; R₁, R₂ and R₃ are the same or different and each represents hydrogen, hydroxyl, nitro, cyano, amino, halogen, carboxy, carbamoyl, mercapto, alkyl, haloalkyl, alkylcarbonyloxy, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, dialkylamino, alkylcarbonylamino, N-(alkylcarbonyl)-N-(alkyl)amino, alkoxycarbonylamino, N-(alkoxycarbonyl)-N-(alkyl)amino, alkylsulfonylamino, N-(alkylsulfonyl)-N-(alkyl)amino, haloalkylsulfonylamino,